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## Combined mesterolone-clomiphene citrate therapy for treatment of oligospermia.

Bandhauer K, Meili HU.

42 subfertile patients with normal levels of plasma testosterone (26 subnormal, suffering from oligospermia) have been treated with a combination of clomiphene citrate (50 mg Clomid daily) and mesterolone (50 mg Proviron daily) over a period of at least 3-6 months. The treatment resulted in pregnancy in 6 cases and in a significant improvement of the sperm count in 16. In 7, however, whilst the sperm count improved the qualitative results were unsatisfactory as many sperms were immature. Restricted spermatogenesis and a sperm count below 5 million/ml must be considered unfavourable but does not constitute a counter-indication to the combined therapy. No hazardous complications were observed.

PMID: 913461 [PubMed - indexed for MEDLINE]

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May 2 2005 17:45:09

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 17:31:55 ON 06 MAY 2005  
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STRUCTURE FILE UPDATES: 5 MAY 2005 HIGHEST RN 849903-59-9  
DICTIONARY FILE UPDATES: 5 MAY 2005 HIGHEST RN 849903-59-9

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\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
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\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

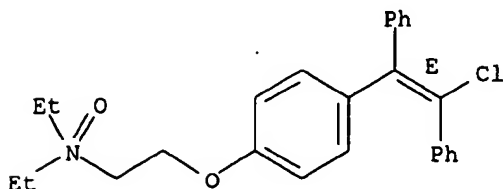
Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> s clomiphene  
L1 9 CLOMIPHENE

=> d 1-9

L1 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 79838-56-5 REGISTRY  
ED Entered STN: 16 Nov 1984  
CN Ethanamine, 2-[4-[(1E)-2-chloro-1,2-diphenylethenyl]phenoxy]-N,N-diethyl-,  
N-oxide (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Ethanamine, 2-[4-(2-chloro-1,2-diphenylethenyl)phenoxy]-N,N-diethyl-,  
N-oxide, (E)-  
OTHER NAMES:  
CN E-Clomiphene N-oxide  
FS STEREOSEARCH  
MF C26 H28 Cl N O2  
LC STN Files: CA, CAPLUS

Double bond geometry as shown.

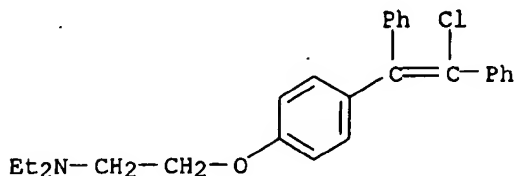


3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 57049-00-0 REGISTRY  
ED Entered STN: 16 Nov 1984  
CN Ethanamine, 2-[4-(2-chloro-1,2-diphenylethenyl)phenoxy]-N,N-diethyl-,  
hydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN **Clomiphenes hydrochloride**  
MF C26 H28 Cl N O . Cl H  
LC STN Files: BIOSIS, CA, CAPLUS, TOXCENTER  
CRN (911-45-5)



● HCl

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

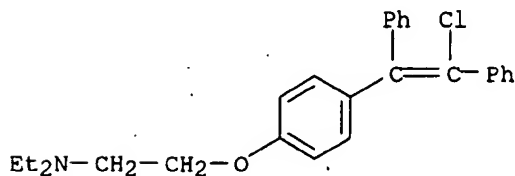
L1 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 39729-47-0 REGISTRY  
ED Entered STN: 16 Nov 1984  
CN Ethanamine, 2-[4-(2-chloro-1,2-diphenylethenyl)phenoxy]-N,N-diethyl-,  
acetate (9CI) (CA INDEX NAME)

OTHER NAMES:

CN **Clomiphenes acetate**  
MF C26 H28 Cl N O . C2 H4 O2  
LC STN Files: CA, CAPLUS

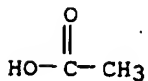
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CRN 911-45-5  
CMF C26 H28 Cl N O



CM 2

CRN 64-19-7  
CMF C2 H4 O2



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 15690-57-0 REGISTRY  
ED Entered STN: 16 Nov 1984  
CN Ethanamine, 2-[4-[(1E)-2-chloro-1,2-diphenylethenyl]phenoxy]-N,N-diethyl-  
(9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Ethanamine, 2-[4-(2-chloro-1,2-diphenylethenyl)phenoxy]-N,N-diethyl-, (E)-  
CN Triethylamine, 2-[p-(2-chloro-1,2-diphenylvinyl)phenoxy]-, (E)- (8CI)

OTHER NAMES:

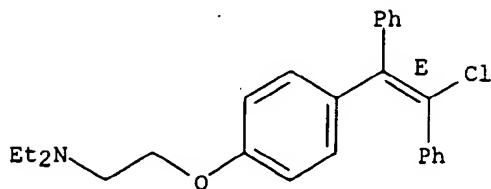
CN (E)-Clomiphene  
CN 2-[p-(2-Chloro-trans-1,2-diphenylvinyl)phenoxy]triethylamine  
CN Enclomifene  
CN Enclomiphene  
CN ICI 46476  
CN trans-Clomifene  
CN trans-Clomiphene  
FS STEREOSEARCH  
DR 96189-16-1  
MF C26 H28 Cl N O  
CI COM

LC STN Files: AGRICOLA, BEILSTEIN\*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA,  
CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, DDFU, DRUGU, EMBASE,  
IFICDB, IFIPAT, IFIUDB, IPA, MRCK\*, RTECS\*, TOXCENTER, USAN, USPATFULL,  
VETU

(\*File contains numerically searchable property data)

Other Sources: WHO

Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

141 REFERENCES IN FILE CA (1907 TO DATE)

141 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 15690-55-8 REGISTRY  
ED Entered STN: 16 Nov 1984  
CN Ethanamine, 2-[4-[(1Z)-2-chloro-1,2-diphenylethenyl]phenoxy]-N,N-diethyl-  
(9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Ethanamine, 2-[4-(2-chloro-1,2-diphenylethenyl)phenoxy]-N,N-diethyl-, (Z)-  
CN Triethylamine, 2-[p-(2-chloro-1,2-diphenylvinyl)phenoxy]-, (Z)- (8CI)

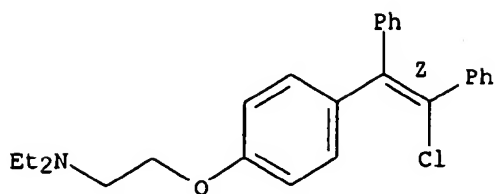
OTHER NAMES:

CN (Z)-Clomiphene  
CN cis-Clomifene  
CN cis-Clomiphene  
CN RMI 16312  
CN Zuclofemifene  
CN Zuclofemiphene  
FS STEREOSEARCH  
MF C26 H28 Cl N O  
CI COM

LC STN Files: AGRICOLA, BEILSTEIN\*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA,  
CAPLUS, CASREACT, CHEMINFORMRX, CHEMLIST, DDFU, DRUGU, EMBASE, IFICDB,  
IFIPAT, IFIUDB, IPA, MRCK\*, RTECS\*, TOXCENTER, USAN, USPATFULL  
(\*File contains numerically searchable property data)

Other Sources: WHO

Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

125 REFERENCES IN FILE CA (1907 TO DATE)

125 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 7619-53-6 REGISTRY

ED Entered STN: 16 Nov 1984

CN Ethanamine, 2-[4-[(1Z)-2-chloro-1,2-diphenylethenyl]phenoxy]-N,N-diethyl-,  
2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Ethanamine, 2-[4-(2-chloro-1,2-diphenylethenyl)phenoxy]-N,N-diethyl-,  
(Z)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1)

CN Triethylamine, 2-[p-(2-chloro-1,2-diphenylvinyl)phenoxy]-, citrate (1:1),  
(Z)- (8CI)

OTHER NAMES:

CN (Z)-Clomiphene citrate

CN cis-Clomiphene citrate

CN Clomiphene A citrate

CN NSC 151466

CN Zuclomid

CN Zuclophene citrate

FS STEREOSEARCH

DR 207563-42-6

MF C26 H28 Cl N O . C6 H8 O7

LC STN Files: BEILSTEIN\*, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT,  
CHEMLIST, EMBASE, IFICDB, IFIPAT, IFIUDB, IPA, RTECS\*, TOXCENTER,  
USPATFULL

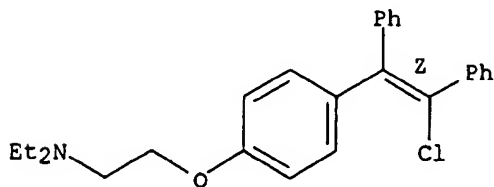
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CRN 15690-55-8

CMF C26 H28 Cl N O

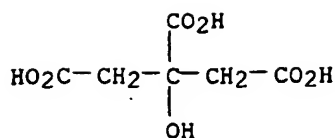
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CM 2

CRN 77-92-9

CMF C6 H8 O7



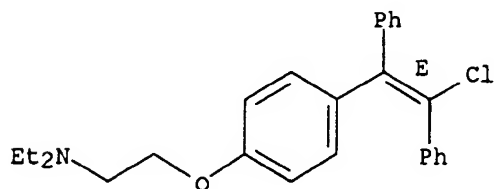
56 REFERENCES IN FILE CA (1907 TO DATE)  
56 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 7599-79-3 REGISTRY  
ED Entered STN: 16 Nov 1984  
CN Ethanamine, 2-[4-[(1E)-2-chloro-1,2-diphenylethenyl]phenoxy]-N,N-diethyl-,  
2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Ethanamine, 2-[4-(2-chloro-1,2-diphenylethenyl)phenoxy]-N,N-diethyl-,  
(E)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1)  
CN Triethylamine, 2-[p-(2-chloro-1,2-diphenylvinyl)phenoxy]-, citrate (1:1),  
(E)- (8CI)  
OTHER NAMES:  
CN (E)-Clomiphene citrate  
CN Clomiphene B citrate  
CN Enclomid  
CN Enclomiphene citrate  
CN trans-Clomiphene citrate  
FS STEREOSEARCH  
DR 96189-17-2, 207562-80-9  
MF C26 H28 Cl N O . C6 H8 O7  
LC STN Files: BEILSTEIN\*, BIOBUSINESS, BIOTECHNO, CA, CAPLUS, CASREACT,  
CHEMLIST, EMBASE, IFICDB, IFIPAT, IFIUDB, IPA, RTECS\*, TOXCENTER,  
USPATFULL  
(\*File contains numerically searchable property data)

CM 1

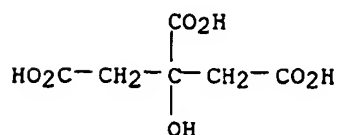
CRN 15690-57-0  
CMF C26 H28 Cl N O

Double bond geometry as shown.



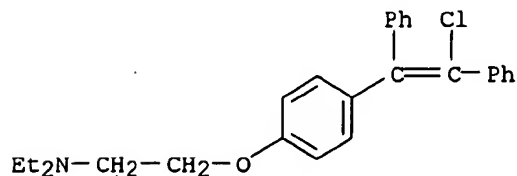
CM 2

CRN 77-92-9  
CMF C6 H8 O7



53 REFERENCES IN FILE CA (1907 TO DATE)  
53 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 911-45-5 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN Ethanamine, 2-[4-(2-chloro-1,2-diphenylethenyl)phenoxy]-N,N-diethyl- (9CI)  
 (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Triethylamine, 2-[p-(2-chloro-1,2-diphenylvinyl)phenoxy]- (7CI, 8CI)  
 OTHER NAMES:  
 CN 1-(p-β-Diethylaminoethoxyphenyl)-1,2-diphenyl-2-chloroethylene  
 CN 2-[p-(β-Chloro-α-phenylstyryl)phenoxy]triethylamine  
 CN 2-[p-(2-Chloro-1,2-diphenylvinyl)phenoxy]triethylamine  
 CN Clomifene  
 CN **Clomiphene**  
 CN **Clomiphene B**  
 FS 3D CONCORD  
 MF C26 H28 Cl N O  
 CI COM  
 LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*, BIOBUSINESS, BIOSIS,  
 BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS,  
 CHEMINFORMRX, CHEMLIST, CIN, CSCHM, DDFU, DIOGENES, DRUGU, EMBASE,  
 HSDB\*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK\*, NIOSHTIC, PROMT, PS,  
 RTECS\*, SPECINFO, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL  
 (\*File contains numerically searchable property data)  
 Other Sources: EINECS\*\*, WHO  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)



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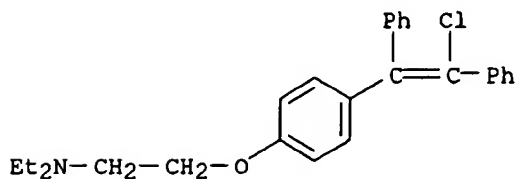
630 REFERENCES IN FILE CA (1907 TO DATE)  
 10 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 630 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
 16 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L1 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 50-41-9 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN Ethanamine, 2-[4-(2-chloro-1,2-diphenylethenyl)phenoxy]-N,N-diethyl-,  
 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Triethylamine, 2-[p-(2-chloro-1,2-diphenylvinyl)phenoxy]-, citrate (6CI,  
 7CI)  
 CN Triethylamine, 2-[p-(2-chloro-1,2-diphenylvinyl)phenoxy]-, citrate (1:1)  
 (8CI)  
 OTHER NAMES:  
 CN 1-[p-(β-Diethylaminoethoxy)phenyl]-1,2-diphenyl-2-chloroethylene  
 citrate  
 CN 2-[p-(2-Chloro-1,2-diphenylvinyl)phenoxy]triethylamine dihydrogen citrate  
 CN Chloramiphene  
 CN Clomid  
 CN Clomifene citrate  
 CN Clomifeno  
 CN **Clomiphene citrate**  
 CN **Clomiphene dihydrogen citrate**  
 CN Clomivid  
 CN Clomphid  
 CN Clostilbegyt

CN Dyneric  
 CN Fertivet  
 CN Fertyl  
 CN Genozym  
 CN Ikaclomin  
 CN Ikaclomine  
 CN Mer 41  
 CN MRL 41  
 CN NSC 35770  
 CN Omifin  
 CN Pergotime  
 CN Racemic clomiphene citrate  
 CN Serophene  
 MF C26 H28 Cl N O . C6 H8 O7  
 CI COM  
 LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*, BIOBUSINESS, BIOSIS,  
 BIOTECHNO, CA, CAOLD, CAPLUS, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHM,  
 CSNB, DIOGENES, EMBASE, HSDB\*, IFICDB, IFIPAT, IFIUDB, IMSCOSEARCH, IPA,  
 MRCK\*, MSDS-OHS, NIOSHTIC, PROMT, PS, RTECS\*, TOXCENTER, USAN, USPAT2,  
 USPATFULL  
 (\*File contains numerically searchable property data)  
 Other Sources: EINECS\*\*  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)

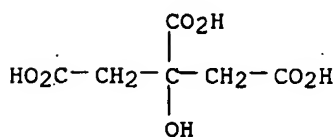
CM 1

CRN 911-45-5  
 CMF C26 H28 Cl N O



CM 2

CRN 77-92-9  
 CMF C6 H8 O7



789 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 790 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
 25 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> file caplus medline biosis embase

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

21.59

21.80

FILE 'CAPLUS' ENTERED AT 17:32:44 ON 06 MAY 2005

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=> s clomiphene or 79838-56-5/rn or 57049-00-0/rn or 39729-47-0/rn or 15690-57-0/rn or  
15690-55-8/rn or 7619-53-6/rn or 7599-79-3/rn or 911-45-5/rn or 50-41-9/rn

'RN' IS NOT A VALID FIELD CODE

'RN' IS NOT A VALID FIELD CODE

'RN' IS NOT A VALID FIELD CODE

L2 13975 CLOMIPHENE OR 79838-56-5/RN OR 57049-00-0/RN OR 39729-47-0/RN  
OR 15690-57-0/RN OR 15690-55-8/RN OR 7619-53-6/RN OR 7599-79-3/R  
N OR 911-45-5/RN OR 50-41-9/RN

=> s chloramiphene or clomid or clomifene or clomifeno or clomivid or clomphid or clostilbegyt

L3 7495 CHLORAMIPHENE OR CLOMID OR CLOMIFENE OR CLOMIFENO OR CLOMIVID  
OR CLOMPHID OR CLOSTILBEGYT

=> s l2 or l3

L4 18204 L2 OR L3

=> trans-clomiphene or 7599-79-3/rn or enclomid or enclomifene or trans-clomifene or  
15690-57-0/rn

TRANS-CLOMIPHENE IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter

"HELP COMMANDS" at an arrow prompt (=>).

=> s trans-clomiphene or 7599-79-3/rn or enclomid or enclomifene or trans-clomifene or  
15690-57-0/rn

'RN' IS NOT A VALID FIELD CODE

'RN' IS NOT A VALID FIELD CODE

'RN' IS NOT A VALID FIELD CODE

L5 346 TRANS-CLOMIPHENE OR 7599-79-3/RN OR ENCLOMID OR ENCLOMIFENE OR  
TRANS-CLOMIFENE OR 15690-57-0/RN

=> s cis-clomiphene or cis-clomifene or zuclophene or zuclophene or 15690-55-8/rn or  
7619-53-6/rn or zuclophid

'RN' IS NOT A VALID FIELD CODE

'RN' IS NOT A VALID FIELD CODE

'RN' IS NOT A VALID FIELD CODE

L6 414 CIS-CLOMIPHENE OR CIS-CLOMIFENE OR ZUCLOMIFENE OR ZUCLOMIPHENE  
OR 15690-55-8/RN OR 7619-53-6/RN OR ZUCLOMID

=> s testosterone or 17-hydroxy-5alpha-androst-1-en-3-one or 1-T

L7 267520 TESTOSTERONE OR 17-HYDROXY-5ALPHA-ANDROST-1-EN-3-ONE OR 1-T

=> s l4 and l7

L8 2118 L4 AND L7

=> s l5 and l7

L9 33 L5 AND L7

=> s l6 and l7

L10 38 L6 AND L7

=> dup rem l9

PROCESSING COMPLETED FOR L9

L11 26 DUP REM L9 (7 DUPLICATES REMOVED)

=> dup rem l10

PROCESSING COMPLETED FOR L10

L12 22 DUP REM L10 (16 DUPLICATES REMOVED)

=> focus l11

PROCESSING COMPLETED FOR L11  
L13 26 FOCUS L11 1-

=> s l11 or l12  
L14 34 L11 OR L12

=> dup rem l14  
PROCESSING COMPLETED FOR L14  
L15 34 DUP REM L14 (0 DUPLICATES REMOVED)

=> d ibib abs 1-34

L15 ANSWER 1 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:722925 CAPLUS  
DOCUMENT NUMBER: 141:218967  
TITLE: Methods and compositions with trans-  
clomiphene for treating wasting and  
lipodystrophy  
INVENTOR(S): Podolski, Joseph S.; Wiehle, Ronald  
PATENT ASSIGNEE(S): Zonagen, Inc., USA  
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S.  
Ser. No. 427,768.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004171697	A1	20040902	US 2003-712546	20031112
WO 2003005954	A2	20030123	WO 2002-US21524	20020709
WO 2003005954	A3	20031023		
WO 2003005954	B1	20031204		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,  
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004097597	A1	20040520	US 2003-427768	20030430
PRIORITY APPLN. INFO.:			US 2001-304313P	P 20010709
			WO 2002-US21524	A2 20020709
			US 2003-427768	A2 20030430

AB The invention discloses compns. and methods useful for treating wasting,  
especially a loss of muscle mass. The present invention also discloses compns.  
and methods useful for treating lipodystrophy. The compns. and methods of  
the present invention are particularly beneficial to HIV-infected  
individuals.

L15 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:414648 CAPLUS  
DOCUMENT NUMBER: 140:386043  
TITLE: Methods and compositions with trans-  
clomiphene for treatment of male hypogonadism  
and reduction of cholesterol levels  
INVENTOR(S): Podolski, Joseph S.; Wiehle, Ronald  
PATENT ASSIGNEE(S): Zonagen, Inc., USA  
SOURCE: U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of Appl.  
No. PCT/US02/21524.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097597	A1	20040520	US 2003-427768	20030430
WO 2003005954	A2	20030123	WO 2002-US21524	20020709
WO 2003005954	A3	20031023		
WO 2003005954	B1	20031204		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004171697 A1 20040902 US 2003-712546 20031112

PRIORITY APPLN. INFO.: US 2001-304313P P 20010709  
WO 2002-US21524 A2 20020709  
US 2003-427768 A2 20030430

AB The invention discloses the use of compns. comprising **trans-clomiphene** for treating men with hypogonadism. The invention also discloses methods for treating males with hypogonadism. The invention further discloses methods for decreasing cholesterol levels.

L15 ANSWER 3 OF 34 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
on STN

ACCESSION NUMBER: 2005111618 EMBASE  
TITLE: Enclomiphene citrate.  
AUTHOR: Mealy N.E.; Bas M.  
CORPORATE SOURCE: N.E. Mealy, Prous Science, P.O. Box 540, 08080 Barcelona, Spain  
SOURCE: Drugs of the Future, (2004) Vol. 29, No. 11, pp. 1139-1140.  
Refs: 1  
ISSN: 0377-8282 CODEN: DRFUD4  
COUNTRY: Spain  
DOCUMENT TYPE: Journal; Note  
FILE SEGMENT: 003 Endocrinology  
028 Urology and Nephrology  
037 Drug Literature Index  
038 Adverse Reactions Titles  
LANGUAGE: English  
ENTRY DATE: Entered STN: 20050331  
Last Updated on STN: 20050331  
DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L15 ANSWER 4 OF 34 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
on STN

ACCESSION NUMBER: 2005064723 EMBASE  
TITLE: Gateways to clinical trials: December 2004.  
AUTHOR: Bayes M.; Rabasseda X.; Prous J.R.  
CORPORATE SOURCE: M. Bayes, Prous Science, P.O. Box 540, 08080 Barcelona, Spain. mbayes@prous.com  
SOURCE: Methods and Findings in Experimental and Clinical Pharmacology, (2004) Vol. 26, No. 10, pp. 801-827.  
Refs: 163  
ISSN: 0379-0355 CODEN: MFEPDX  
COUNTRY: Spain  
DOCUMENT TYPE: Journal; General Review  
FILE SEGMENT: 006 Internal Medicine  
017 Public Health, Social Medicine and Epidemiology  
030 Pharmacology  
037 Drug Literature Index  
038 Adverse Reactions Titles  
LANGUAGE: English  
SUMMARY LANGUAGE: English

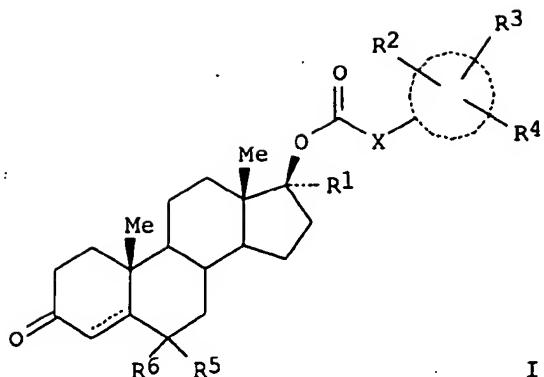
ENTRY DATE: Entered STN: 20050224  
Last Updated on STN: 20050224

AB Gateways to Clinical Trials is a guide to the most recent clinical trials in current literature and congresses. The data in the following tables has been retrieved from the Clinical Studies Knowledge Area of Prous Science Integrity®, the drug discovery and development portal, <http://integrity.prous.com>. This issue focuses on the following selection of drugs: Abetimus sodium, ademetonine, agalsidase alfa, agalsidase beta, alemtuzumab, alfimeprase, AMG-162, androgel, anidulafungin, antigastrin therapeutic vaccine, aripiprazole, atomoxetine hydrochloride; Bazedoxifene acetate, bevacizumab, bosentan; Caldaret hydrate, canfosfamide hydrochloride, choriogonadotropin alfa, ciclesonide, combretastatin A-4 phosphate, CY-2301; Darbepoetin alfa, darifenacin hydrobromide, decitabine, degarelix acetate, duloxetine hydrochloride; ED-71, enclomiphene citrate, eplerenone, epratuzumab, escitalopram oxalate, eszopiclone, ezetimibe; Fingolimod hydrochloride, FP-1096; HMR-3339A, HSV-TK/GCV gene therapy, human insulin, HuOKT3gamma1(Ala234-Ala235); Idursulfase, imatinib mesylate, indiplon, InnoVax C insulin glargine, insulin glulisine, irofulven; Labetuzumab, lacosamide, lanthanum carbonate, LyphoDerm, Lyprinol; Magnesium sulfate, metelimumab, methylphenidate hydrochloride; Natalizumab, NO-aspirin; OROS(R); PC-515, pegaptanib sodium, peginterferon alfa-2a, peginterferon alfa-2b, peginterferon alfa-2b/ribavirin, pemetrexed disodium, peptide YY3-36, posaconazole, pregabalin, PT-141, pyridoxamine; R-744, ramelteon, ranelic acid distrontium salt, rebimastat, repinotan hydrochloride, rhCl, rhGAD65, rosiglitazone maleate/metformin hydrochloride; Sardomozide, solifenacin succinate; Tadalafil, taxus, telavancin, telithromycin, tenofovir disoproxilfumarate, teriparatide, testosterone transdermal patch, tetomilast, tirapazamine, torcetrapib; Valspodar, vardenafil hydrochloride hydrate, vildagliptin; Yttrium Y90 epratuzumab; Ziprasidone hydrochloride. .COPYRG. 2004 Prous Science. All rights reserved.

L15 ANSWER 5 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:261603 CAPLUS  
DOCUMENT NUMBER: 138:281598  
TITLE: Androstane compounds as androgen receptor (AR) modulators for the treatment of AR-related diseases  
INVENTOR(S): Wang, Jiabing  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 83 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026568	A2	20030403	WO 2002-US29436	20020917
WO 2003026568	A3	20040226		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1429779	A2	20040623	EP 2002-766288	20020917
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
JP 2005507886	T2	20050324	JP 2003-530207	20020917
US 2004235808	A1	20041125	US 2004-489072	20040308
PRIORITY APPLN. INFO.:			US 2001-324124P	P 20010921
			WO 2002-US29436	W 20020917
OTHER SOURCE(S):	MARPAT 138:281598			



AB Comps. of structural formula (I) as herein defined are claimed as useful in a method for modulating a function of the androgen receptor in a tissue selective manner in a patient in need of such modulation, as well as in a method of activating the function of the androgen receptor in a patient, and in particular the method wherein the function of the androgen receptor is blocked in the prostate of a male patient or in the uterus of a female patient and activated in bone and/or muscle tissue. These compds. are useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteopenia, osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, female sexual dysfunction, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, prostate cancer, inflammatory arthritis and joint repair, alone or in combination with other active agents. Methods for the co-administration of those compds. with bone-strengthening agents are also claimed.

L15 ANSWER 6 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:57852 CAPLUS

DOCUMENT NUMBER: 138:83425

TITLE: Methods and materials for the treatment of testosterone deficiency in men

INVENTOR(S): Podolski, Joseph S.

PATENT ASSIGNEE(S): Zonagen, Inc., USA

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003005954	A2	20030123	WO 2002-US21524	20020709
WO 2003005954	A3	20031023		
WO 2003005954	B1	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1411916	A2	20040428	EP 2002-748104	20020709

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 US 2004097597 A1 20040520 US 2003-427768 20030430  
 US 2004171697 A1 20040902 US 2003-712546 20031112  
 US 2004241224 A1 20041202 US 2004-483458 20040702  
 PRIORITY APPLN. INFO.: US 2001-304313P P 20010709  
 WO 2002-US21524 W 20020709  
 US 2003-427768 A2 20030430

AB The present invention relates to the use of compns. comprising  
**trans-clomiphene** for treating men with hypogonadism.  
 The invention is also directed to methods for treating males with  
 hypogonadism.

L15 ANSWER 7 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:658753 CAPLUS  
 DOCUMENT NUMBER: 137:179898  
 TITLE: Methods of treating androgen deficiency in men using  
 selective antiestrogens  
 INVENTOR(S): Fisch, Harry  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 3 pp., Cont.-in-part of U.S.  
 6,391,920.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002120012	A1	20020829	US 2002-81098	20020221
WO 2001091744	A1	20011206	WO 2001-US15900	20010515
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
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US 6391920	B1	20020521	US 2001-980652	20011026
WO 2003072092	A1	20030904	WO 2002-US37841	20021125
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	

PRIORITY APPLN. INFO.: US 2000-207496P P 20000526  
 WO 2001-US15900 W 20010515  
 US 2001-980652 A2 20011026  
 US 2002-81098 A 20020221

AB The administration of antiestrogens to men suffering a relative androgen  
 deficiency stimulates the body's production of **testosterone** leading  
 to a correction of the deficiency. For example, male menopause, loss of  
 cognitive function, insulin resistance, type 2 diabetes, obesity,  
 excessive weight, Alzheimer's disease, and combinations thereof, can all be  
 characterized by significant decreases in serum levels of bioavailable  
 androgens. Administration of antiestrogens to men restores optimum serum  
 levels of bioavailable androgens, and, thus, serves as a treatment for  
 these disorders and relative androgen deficiency in general.

L15 ANSWER 8 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

(FILE 'HOME' ENTERED AT 18:53:45 ON 27 SEP 2005)

FILE 'CAPLUS' ENTERED AT 18:53:54 ON 27 SEP 2005

L1 191 S TRANS-CLOMIPHENE OR 7599-79-3/RN OR ENCLOMID OR ENCLOMIFENE O

FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE' ENTERED AT 18:54:46 ON 27 SEP 2005

L2 348 S L1

L3 1588 S CLOMPHENE OR 79838-56-5/RN OR 57049-00-0/RN OR 39729-47-0/RN

L4 7553 S CHLORAMIPHENE OR CLOMID OR CLOMIFENE OR CLOMIFENOR OR CLOMIVI

L5 415 S CIS-CLOMIPHENE OR CIS-CLOMIFENE OR ZUCLOMIFENE OR ZUCLOMIPHEN

L6 9211 S L2 OR L3 OR L4 OR L5

FILE 'MEDLINE' ENTERED AT 18:58:14 ON 27 SEP 2005

E CHOLESTEROL/CT

E CHOLESTEROL/CN

FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE' ENTERED AT 18:59:12 ON 27 SEP 2005

L7 824037 S CHOLESTEROL OR TRIGLYCERIDE OR LIPOPROTEIN OR LDL OR HDL

L8 194 S L6 AND L7

L9 148 DUP REM L8 (46 DUPLICATES REMOVED)

L10 148 FOCUS L9 1-

=> s l10 and trans

L11 12 L10 AND TRANS

=> d ibib abs 1-12

ACCESSION NUMBER: 2001:439590 CAPLUS  
 DOCUMENT NUMBER: 135:134953  
 TITLE: Regulation of plasma gonadotropin II secretion by sex steroids, aromatase inhibitors, and antiestrogens in the protandrous black porgy, *Acanthopagrus schlegelii* Bleeker  
 AUTHOR(S): Lee, Y.-H.; Du, J.-L.; Yen, F.-P.; Lee, C.-Y.; Dufour, S.; Huang, J.-D.; Sun, L.-T.; Chang, C.-F.  
 CORPORATE SOURCE: Department of Aquaculture, National Taiwan Ocean University, Taichung, Peop. Rep. China  
 SOURCE: Comparative Biochemistry and Physiology, Part B: Biochemistry & Molecular Biology (2001), 129B(2-3), 399-406  
 CODEN: CBPBB8; ISSN: 1096-4959  
 PUBLISHER: Elsevier Science Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Plasma gonadotropin II (GTH II) concns. were significantly higher (approx. 15-20-fold) in estradiol-17 $\beta$  (E2) treated (1.0  $\mu$ g or 2.5  $\mu$ g g<sup>-1</sup> body weight) female black porgy from days 4 to 12 compared with the control. E2 (1  $\mu$ g g<sup>-1</sup> weight) had a stronger stimulation on plasma GTH II in early recrudescence phase (low GSI) males (11-fold) than in high GSI and late spermiating males (2.6-fold). No effect of androgens (testosterone, T; 5 $\alpha$ -dihydrotestosterone, DHT) on plasma GTH II levels was observed in either sex. The levels of plasma GTH II were stimulated in 1,4,6-androstatriene-3,17-dione (ATD, 1  $\mu$ g g<sup>-1</sup>, 2  $\mu$ g g<sup>-1</sup> body weight) and fadrozole-treated (1  $\mu$ g g<sup>-1</sup>, 3  $\mu$ g g<sup>-1</sup> body weight) groups compared to control. Tamoxifen (1  $\mu$ g g<sup>-1</sup>, 3  $\mu$ g g<sup>-1</sup> body weight) but not enclomiphene could stimulate high GTH II levels in plasma. In another experiment of ATD in combination with T, T treatment further attenuated the ATD stimulation of plasma GTH II levels. The authors concluded that GTH II secretion is pos. regulated by an estrogen-specific effect in female and male black porgy. Gonadal stage had significant effects on the responsiveness of GTH II to E2 stimulation in males. A neg. aromatase-dependent feedback control of plasma GTH II levels was also suggested in the protandrous black porgy, *Acanthopagrus schlegelii*.  
 REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:45236 CAPLUS  
 DOCUMENT NUMBER: 130:105686  
 TITLE: Control of selective estrogen receptor modulators  
 INVENTOR(S): Hodgen, Gary D.  
 PATENT ASSIGNEE(S): Medical College of Hampton Roads, USA  
 SOURCE: Eur. Pat. Appl., 6 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 888775	A2	19990107	EP 1998-112107	19980701
EP 888775	A3	20010502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6653297	B1	20031125	US 1998-59476	19980413
PRIORITY APPLN. INFO.:			US 1997-888183	A 19970703
			US 1998-59476	A 19980413

AB The treatment of an estrogen sensitive condition by the administration of a selective estrogen receptor modulator is improved by addnl. administering a progestationally active compound to the recipient. The addnl. agent can express both progestational and androgenic activity or an androgenically active material can be employed, if desired. Addnl., clomiphene in an array of isomeric ratios (EN:ZU) can be used alone for prevention of osteoporosis, maintenance of a healthful blood lipid

profile, and prevention of breast tumors, or to sustain amenorrhea.

L15 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:78476 CAPLUS  
DOCUMENT NUMBER: 126:195078  
TITLE: Social aggression/fertility in male mice treated with non-steroidal antiestrogens  
AUTHOR(S): Al-Hamood, M. H.; Elbetieha, A.; Al-Maliki, S. J.  
CORPORATE SOURCE: Dep. Appl. Biological Sci., Faculty Sci., Jordan Univ. Sci. & Tech., Irbid, 22110, Jordan  
SOURCE: Advances in Contraceptive Delivery Systems (1996), 12(3,4), 201-208  
CODEN: ACDSEL; ISSN: 1012-8689  
PUBLISHER: Reproductive Health Center  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB An investigation was made to evaluate the effect of s.c. administration of non-steroidal antiestrogens enclomiphene, **zuclomiphene**, nafoxidine, CI-628, LY117018 and the synthetic estrogens diethylstilbestrol and  $\beta$ -estradiol3,17 dipropionate on aggressive behavior/fertility of male mice. The synthetic estrogens  $\beta$ -estradiol3,17 dipropionate, diethylstilbestrol and non-steroidal antiestrogens CI-628, enclomiphene and nafoxidine significantly reduced at least one parameters of social aggression whereas **zuclomiphene** and LY117018 had no effect on this type of aggression. Male mice treated with the synthetic estrogens,  $\beta$ -estradiol3,17 dipropionate or diethylstilbestrol were infertile. The fertility was also significantly reduced in male mice treated with the non-steroidal antiestrogens enclomiphene, nafoxidine and LY117018. It would appear that certain antiestrogens exhibited estrogenic properties at specific doses and suppressed fertility in male mice. The data support the hypothesis that the central action of **testosterone** in regulating aggression involves its aromatization to estrogens.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:211447 CAPLUS  
DOCUMENT NUMBER: 116:211447  
TITLE: Stimulation of ovulation in ayu, *Plecoglossus altivelis*, by treatment with antiestrogens and luteinizing hormone-releasing hormone analog  
AUTHOR(S): Chang, Ching Fong; Hu, Hung Jen; Tang, Hung Chi; Sun, Lian Tien  
CORPORATE SOURCE: Dep. Aquac., Natl. Taiwan Ocean Univ., Keelung, 20224, Taiwan  
SOURCE: Aquaculture (1992), 101(3-4), 329-26  
CODEN: AQCLAL; ISSN: 0044-8486  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The objectives of this study were to investigate the neg. feedback effects of estrogen in ayu, *P. altivelis*, by treatment with enclomiphene (**cis-clomiphene**), **zuclomiphene** (**trans-clomiphene**), clomiphene, and LHRH analog ([D-Ala6,des-Gly10]LHRH ethylamide) based on ovulation and plasma steroid levels. Ovulation was stimulated in some fish by enclomiphene and clomiphene. The majority of ayu injected with LHRH analog ovulated. Injection of enclomiphene or clomiphene at 20 mg/kg wt induced a better ovulation response than 2 mg/kg weight. Enclomiphene increased plasma levels of estradiol-17 $\beta$  (E2) and **testosterone** (T) at both dosages. In contrast, plasma T and E2 levels increased significantly at the high dose of clomiphene. Only one fish (3%) ovulated, and no increase of plasma steroids was observed in the **zuclomiphene** group. Enclomiphene seemed to have antiestrogenic potency. Neg. feedback inhibition of estrogen in mature female ayu is therefore suggested.

L15 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:543667 CAPLUS

DOCUMENT NUMBER: 117:143667  
TITLE: The effect of clomiphene citrate and its Zu or En isomers on the reproductive system of the immature male rat  
AUTHOR(S): Weissenberg, R.; Dar, Y.; Lunenfeld, B.  
CORPORATE SOURCE: Inst. Endocrinol., Sheba Med. Cent., Tel Hashomer, Israel  
SOURCE: Andrologia (1992), 24(3), 161-5  
CODEN: ANDRDQ; ISSN: 0303-4569  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The effect of clomiphene citrate (CC) and of its **Zuclomiphene** (ZuC) and **Enclomiphene** (EnC) isomers on the reproductive organs of immature male rats under different exptl. conditions is reported. CC, ZuC, and EnC were administered daily to groups of either intact or castrated rats between the age of 21-44 d. This led to inhibition of weight increase of testis and accessory glands in the intact group. Spermatogenesis was arrested at the stage of primary spermatocyte following CC and ZuC treatment, and at the stage of young spermatids by EnC treatment. In the castrated group, clomiphene significantly stimulated the weight increase of seminal vesicles (SV) compared with castrated control animals, but the former group were unable to achieve organ weight gain comparable to that in normal controls. Administration of human Chorionic Gonadotropin (hCG) together with CC or each of its isomers to intact animals, abolished the drug effect on spermatogenesis and on reproductive organ growth. Administration of CC, ZuC, and EnC together with **testosterone** to castrated animals, abolished the drug effect on growth inhibition of accessory glands. In intact treated rats, LH and **testosterone** secretion were suppressed by all forms of clomiphenes. In the castrated group ZuC proved to be the most potent inhibitor of LH secretion. Therefore, it is inferred that ZuC and EnC have different potencies as far as their biol. activity in the immature male rat is expressed.

L15 ANSWER 13 OF 34 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 1990:515856 BIOSIS  
DOCUMENT NUMBER: PREV199090133132; BA90:133132  
TITLE: OOCYTE MATURATION IN PROTANDROUS BLACK PORGY ACANTHOPAGRUS-SCHLEGELI STIMULATED BY ENCLOMIPHENE AND LHRH ANALOGUE.  
AUTHOR(S): CHANG C-F. [Reprint author]; YUEH W-S  
CORPORATE SOURCE: DEP AQUAC, NATL TAIWAN OCEAN UNIV, KEELUNG, TAIWAN 20224  
SOURCE: Bulletin of the Institute of Zoology Academia Sinica (Taipei), (1990) Vol. 29, No. 3, pp. 173-180.  
CODEN: BIZYAS. ISSN: 0001-3943.  
DOCUMENT TYPE: Article  
FILE SEGMENT: BA  
LANGUAGE: ENGLISH  
ENTRY DATE: Entered STN: 19 Nov 1990  
Last Updated on STN: 9 Jan 1991

AB Black porgies, *Acanthopagrus schlegeli*, are the marine protandrous hermaphrodite. The objective of this study was to investigate the oocyte maturation and the negative feedback effects of estrogen in black porgy by treatmet with an anti-estrogenic **enclomiphene** (**cis-clomiphene**) based on oocyte maturation and plasma sex steroid levels. The effects of **enclomiphene** were compared to those of a luteinizing hormone relasing hormone analogue (LHRH-A). Eighteen mature female black porgies were equally divided into three groups and treated with **enclomiphene**, LHRH-A or saline. Oocyte maturation was stimulated by both **enclomiphene** and LHRH-A. **Enclomiphene** failed to increase the levels of plasma estradiol-17 $\beta$  (E2) and **testosterone** (T) but stimulated a high level of progesterone. Plasma E2 and T levels increased significantly in the LHRH-A treated group. Neither **enclomiphene** nor LHRH-A could stimulate the response of 17 $\alpha$  hydroxyprogesterone.

L15 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1988:490103 CAPLUS

DOCUMENT NUMBER: 109:90103  
TITLE: An estrogen receptor in the liver of the viviparous watersnake, *Nerodia*; characterization and seasonal changes in binding capacity  
AUTHOR(S): Riley, Deborah; Callard, Ian P.  
CORPORATE SOURCE: Biol. Dep., Boston Univ., Boston, MA, 02215, USA  
SOURCE: Endocrinology (1988), 123(2), 753-61  
CODEN: ENDOAO; ISSN: 0013-7227  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Understanding of steroid receptors is derived largely from the mammalian uterus and avian oviduct, so steroid receptors were characterized in relation to natural cycles in subavian species. A putative estrogen receptor associated with the vitellogenic cycle is reported in the female viviparous watersnake, *Nerodia*. Estrogen binding in cytosolic and nuclear hepatic cell exts. exhibits the following characteristics: high affinity ( $K_d$ ,  $1.3 + 10^{-9}M$  cytosol;  $5.7 + 10^{-10}M$  nuclear extract), steroid specificity for natural estrogens, association time of 1 h at 22° and 4 h at 0°, and dissociation rate of 0.0268 min<sup>-1</sup> at 0° (half-time, 11.2 min) and 0.322 min<sup>-1</sup> at 22° (half-time, 0.906 min). Both cytosolic and nuclear estrogen binding are target organ specific; binding is low to undetectable in lung, skeletal muscle, and intestine, and is present in liver, oviduct, and kidney. A sedimentation coefficient of 6 S was demonstrated in cytosol under low or high salt conditions, and a sedimentation coefficient of 3.5 S was found in nuclear extract. Nuclear location of the receptor is indicated by extraction of increasing amts. of receptor by increasing KCl concns. up to 0.5M; 50% of the binding is extracted by 0.16M KCl. Nuclear estrogen binding is increased significantly after estrogen injection. This estrogen-binding moiety is unusual, since it does not bind to the synthetic estrogen diethylstilbestrol, to antiestrogen clomiphene derivs., or to calf thymus DNA-cellulose and DEAE-Sephadex. Significant changes in cytosolic and nuclear hepatic estrogen receptor levels correlate with vitellogenic stage.

L15 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1988:526148 CAPLUS  
DOCUMENT NUMBER: 109:126148  
TITLE: A plasma steroid hormone binding protein in the viviparous water snake, *Nerodia*  
AUTHOR(S): Riley, Deborah; Kleis-San Francisco, Susan M.; Callard, Ian P.  
CORPORATE SOURCE: Dep. Biol., Boston Univ., Boston, MA, 02215, USA  
SOURCE: General and Comparative Endocrinology (1988), 71(3), 419-28  
CODEN: GCENAS; ISSN: 0016-6480  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A plasma steroid-binding protein (SHBP) with medium-high affinity and limited capacity was characterized in the viviparous water snake, *Nerodia*. This SHBP shows similarity to SHBPs previously described in some other nonmammalian species. A single binding component was detected by Scatchard analyses with a medium-high affinity for testosterone (T), estradiol (E), progesterone (P), and corticosterone (B). Equilibrium dissociation consts. ( $K_d$ ) for these steroids are as follows: T,  $3.6 + 10^{-8}M$ ; E,  $3.7 + 10^{-8}M$ ; P,  $5.9 + 10^{-8}M$ ; and B,  $12.1 + 10^{-8}M$ . In competition studies (at saturation) the relative binding affinities (RBA) for E (1.0) and T (1.0) were higher than those for P (0.8) and B (0.59). Further anal. of binding specificity for [3H]E at 100-fold excess competitor concns. revealed that dihydrotestosterone also competes; however, estrone and estril were relatively poor competitors. Displacement of [3H]E by antiestrogen clomiphene derivs. and synthetic estrogen varied: enclomiphene citrate (67.8%), clomiphene citrate (42.2%), diethylstilbestrol (37.3%), and zuclophene citrate (15.2%). The SHBP has a relatively high binding capacity ( $B_{max} = 0.09-0.7M$ ), which may be correlated with the relatively high circulating plasma steroid levels in this species. Scatchard anal., disc gel electrophoresis, sucrose gradient centrifugation, and competition studies indicate the presence of a single moiety binding E, T, P, and B. The E-SHBP complex is

unstable, exhibiting very short times of association ( $t < 1.5$  min) and dissociation ( $K_d = 0.0165$  s<sup>-1</sup>,  $t_{1/2} = 18.3$  s). Measurement of SHBP levels throughout the seasonal reproductive cycle revealed high levels of binding in previtellogenic, vitellogenic, early pregnant, and postpartum animals. A significantly lower level of SHBP was detected in mid-late pregnancy.

L15 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:452260 CAPLUS  
DOCUMENT NUMBER: 107:52260  
TITLE: Triphenylethylene antiestrogen binding sites (TABS) specificity  
AUTHOR(S): Clark, James H.; Mitchell, William C.; Guthrie, Sylvia C.  
CORPORATE SOURCE: Dep. Cell Biol., Baylor Coll. Med., Houston, TX, 77030, USA  
SOURCE: Journal of Steroid Biochemistry (1987), 26(4), 433-7  
CODEN: JSTBBK; ISSN: 0022-4731  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The relative binding affinities (RBA) of various compds. for the triphenylethylene antiestrogen-binding sites (TABS) were examined. The ability of tamoxifen to inhibit the binding of [3H]tamoxifen to salt-extracted (0.4M KCl) TABS from rat liver nuclei was used as a standard by which other compds. were compared [tamoxifen RBA, 100;  $K_d$  (dissociation constant) .apprx.1 nM]. Nafoxidine was the most effective triphenylethylene compound used (RBA 333;  $K_d$  .apprx.0.3 nM) whereas the RBA of zuclomiphene and enclomiphene was not different from tamoxifen. MER-29 was the weakest inhibitor of the triphenylethylene derivs. (RBA 10;  $K_d$  .apprx.10 nM). Trifluoperazine, chlorpromazine, and the anti-calmodulin drugs W-13 and W-12 had RBA's of 25, 1, 1, and 0.1 resp. The binding affinities of cholesterol and 7-ketocholesterol were significant ( $K_d$  .apprx.22 nM), whereas the steroid hormones, estradiol, testosterone, progesterone, and corticosterone displayed no observable affinity. Various compds., which contained alkylaminoethoxy side chains linked to aromatic ring structures, had RBA's ranging from 1-0.3. Thus, the similar binding affinities of various triphenylethylene antiestrogens for TABS and their divergent activities as antiestrogens makes it unlikely that TABS are directly involved in estrogen antagonism. The moderate but significant affinity of TABS for trifluoperazine and other drugs thought to be involved in calmodulin regulation indicates that TABS may be a linked in some way to calmodulin function. The binding of cholesterol and 7-ketocholesterol is also significant and may indicate that TABS are involved in cholesterol metabolism

L15 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:78964 CAPLUS  
DOCUMENT NUMBER: 106:78964  
TITLE: Subcellular localization of triphenylethylene antiestrogen binding sites (TABS) in rat liver  
AUTHOR(S): Clark, James H.; Guthrie, Sylvia  
CORPORATE SOURCE: Dep. Cell Biol., Baylor Coll. Med., Houston, TX, 77030, USA  
SOURCE: Journal of Steroid Biochemistry (1986), 25(5A), 635-9  
CODEN: JSTBBK; ISSN: 0022-4731  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The subcellular distribution of triphenylethylene antiestrogen-binding sites (TABS) was examined in the rat liver. Nuclear, mitochondrial, and microsomal fractions were prepared by differential centrifugation, extracted with 0.5M KCl, and bound 3H-labeled tamoxifen [10540-29-1] was determined by the dextran-coated charcoal method. The relative concns. of TABS in each fractions were: nuclear, 30.2; mitochondrial, 14.8; and microsomal, 10.2 pmol/g tissues. No TABS were detected in the high-speed cytosol. The dissociation consts. of nuclear and mitochondrial TABS were similar (1-2 nM); however, a higher number was obtained for microsomal TABS (5-6 nM). The ability of other triphenylethylene drugs to compete for [3H]tamoxifen binding to TABS was similar to tamoxifen for mitochondrial and microsomal sites. In contrast, nafoxidine [1845-11-0] was a more potent inhibitor

for nuclear TABS. Exposure of high-salt nuclear exts. to charcoal prior to assay did not reveal any evidence for an endogenous ligand of high affinity. Evidently, TABS are present in nuclear, mitochondrial, and microsomal fractions of rat liver and the nuclear fraction contains the highest concentration of these sites.

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ACCESSION NUMBER: 85108115 EMBASE  
DOCUMENT NUMBER: 1985108115  
TITLE: Inhibition of 17 $\beta$ -hydroxysteroid dehydrogenase (17 $\beta$ -HSD) activities of human placenta by steroids and non-steroidal hormone agonists and antagonists.  
AUTHOR: Blomquist C.H.; Lindemann N.J.; Hakanson E.Y.  
CORPORATE SOURCE: Department of Obstetrics and Gynecology, St. Paul-Ramsey Medical Center, St. Paul, MN 55101, United States  
SOURCE: Steroids, (1984) Vol. 43, No. 5, pp. 571-586.  
CODEN: STEDAM  
COUNTRY: United States  
DOCUMENT TYPE: Journal  
FILE SEGMENT: 037 Drug Literature Index  
003 Endocrinology  
029 Clinical Biochemistry  
030 Pharmacology  
010 Obstetrics and Gynecology  
LANGUAGE: English  
ENTRY DATE: Entered STN: 911210  
Last Updated on STN: 911210

AB Various naturally occurring steroids, synthetic steroid derivatives and non-steroidal hormone agonists and antagonists were assayed as inhibitors of human placental 17 $\beta$ -HSD activities. Microsomal 17 $\beta$ -HSD was inhibited by C18-, C19- and C21-steroids. Soluble 17 $\beta$ -HSD was highly specific for C18-steroids. In contrast to the soluble activity, the microsomal enzyme also had a strong affinity for ethinylestradiol (K(I) = 0.3  $\mu$ M) and danazol (K(I) = 0.6  $\mu$ M); anabolic steroids and norethisterone were weaker inhibitors. Of the non-steroids tested only diethylstilbestrol and o-demethyl CI-680 were inhibitors and they showed a greater affinity for soluble 17 $\beta$ -HSD. K(I)-values for estradiol-17 $\beta$ , (0.8  $\mu$ M), progesterone (27.0  $\mu$ M) and 20 $\alpha$ -dihydroprogesterone (1.5  $\mu$ M) were comparable to reported tissue levels of these compounds, consistent with a possible competition in vivo among naturally occurring C18-, C19-, and C21-steroids for the active site of microsomal 17 $\beta$ -HSD.

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ACCESSION NUMBER: 83252235 EMBASE  
DOCUMENT NUMBER: 1983252235  
TITLE: Competition by monophenolic estrogens and catecholestrogens for high-affinity uptake of [3H](-)-norepinephrine into synaptosomes from rat cerebral cortex and hypothalamus.  
AUTHOR: Ghraf R.; Michel M.; Hiemke C.; Knuppen R.  
CORPORATE SOURCE: Inst: Physiol. Chem., Univ. Klin. Essen, D-4300 Essen 1, Germany  
SOURCE: Brain Research, (1983) Vol. 277, No. 1, pp. 163-168.  
CODEN: BRREAP  
COUNTRY: Netherlands  
DOCUMENT TYPE: Journal  
FILE SEGMENT: 037 Drug Literature Index  
002 Physiology  
003 Endocrinology  
023 Nuclear Medicine  
029 Clinical Biochemistry  
008 Neurology and Neurosurgery  
LANGUAGE: English  
ENTRY DATE: Entered STN: 911209  
Last Updated on STN: 911209

AB High affinity uptake of [3H](-)-norepinephrine (NE) was investigated in

synaptosomes from rat cerebral cortex [ $K(m) = 360 \pm 30$  nM] and hypothalamus [ $K(m) = 307 \pm 90$  nM]. Estrogens but not androgens, glucocorticoids or progestin interfered competitively with NE uptake. Ethinylestradiol was the most effective competitor tested, its  $K(i)$  value being 200 nM in the cortex and 144 nM in the hypothalamus. Stereospecificity of the inhibitory effect of estradiol-17 $\beta$  with a preference for the 17 $\beta$ -hydroxy group was indicated by the ineffectiveness of estradiol-17 $\alpha$  and estrone as competitors. A-ring substitution of estradiol-17 $\beta$  or ethylestradiol by hydroxyl groups in positions 2 and 4 (yielding catecholestrogens) or methyl substitution in positions 2 and 4 (yielding methylestrogens) significantly reduced the inhibitory potency of the estrogen. Methoxylation in positions 2, 4 or 11 $\beta$  completely abolished the competitive action of estradiol-17 $\beta$  or ethinylestradiol on NE uptake.

L15 ANSWER 20 OF 34 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
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ACCESSION NUMBER: 82137061 EMBASE  
DOCUMENT NUMBER: 1982137061  
TITLE: Subcellular distribution of 3 $\alpha$ -hydroxysteroid dehydrogenase and antiestrogen action on androgen-metabolizing enzymes in rat pituitary gland.  
AUTHOR: Ghraf R.; Schneider K.; Kirchhoff J.; Hiemke C.  
CORPORATE SOURCE: Inst. Physiol. Chem., Universitätsklinik. Essen, D-4300 Essen, Germany  
SOURCE: Journal of Neurochemistry, (1982) Vol. 38, No. 4, pp. 876-883.  
CODEN: JONRA  
COUNTRY: United Kingdom  
DOCUMENT TYPE: Journal  
FILE SEGMENT: 037 Drug Literature Index  
029 Clinical Biochemistry  
008 Neurology and Neurosurgery  
003 Endocrinology  
LANGUAGE: English  
ENTRY DATE: Entered STN: 911209  
Last Updated on STN: 911209

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L15 ANSWER 21 OF 34 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
on STN

ACCESSION NUMBER: 83030444 EMBASE  
DOCUMENT NUMBER: 1983030444  
TITLE: A specific cytosolic estrogen receptor in human term placenta.  
AUTHOR: Kneussl E.S.; Ances I.G.; Albrecht E.D.  
CORPORATE SOURCE: Dep. Obstet. Gynecol., Univ. Maryland Sch. Med., Baltimore, MD 21201, United States  
SOURCE: American Journal of Obstetrics and Gynecology, (1982) Vol. 144, No. 7, pp. 803-809.  
CODEN: AJOGAH  
COUNTRY: United States  
DOCUMENT TYPE: Journal  
FILE SEGMENT: 037 Drug Literature Index  
010 Obstetrics and Gynecology  
003 Endocrinology  
023 Nuclear Medicine  
LANGUAGE: English  
ENTRY DATE: Entered STN: 911209  
Last Updated on STN: 911209

AB Administration of the antiestrogen ethamoxypriphetol (MER-25) during baboon gestation results in a marked decline in placental progesterone production. Since this effect in primates may be modulated via an estrogen receptor, the present study investigated the possible existence of an estrogen receptor in human placenta. Villous tissue of human, term placentas was homogenized in 0.01M Tris-HCl, ethylenediaminetetraacetic acid, dithiothreitol, glycerol buffer. Cytosol was incubated with 10-8M [3H] 17 $\beta$ -estradiol (E2) in the presence or absence of 10-6M

diethylstilbestrol (DES). A single peak of [3H]E2 binding occurred in the 5.2 S region after glycerol density gradient centrifugation, which was competed for by DES, E2, and enclomiphene. Scatchard analysis demonstrated E2 binding, which was saturable, of high affinity ( $K(d) = 1.90 \times 10^{-11}M$ ) and of low capacity ( $N = 0.13 \times 10^{-14}$  moles/mg cytosolic protein). Competition for [3H]E2 binding was DES>E2>estrone>MER-25>enclomiphene, whereas androgens, progestins, and corticosteroids were ineffective. The results fulfill the criteria for a specific estrogen receptor. The influence of antiestrogen and, possibly, estrogen upon placental function in baboons may be modulated by an estrogen receptor.

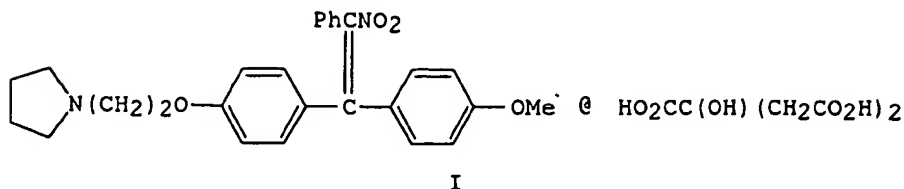
L15 ANSWER 22 OF 34 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
on STN

ACCESSION NUMBER: 82253340 EMBASE  
DOCUMENT NUMBER: 1982253340  
TITLE: Failure of a variety of antiestrogens to mimic estrogen action in the induction of sexual receptivity in a female lizard.  
AUTHOR: Tokarz R.R.; Crews D.  
CORPORATE SOURCE: Dep. Biol., Sch. Theor. Appl. Sci., Ramapo Coll. New Jersey, Mahwah, NJ 07430, United States  
SOURCE: Hormones and Behavior, (1982) Vol. 16, No. 3, pp. 364-369.  
CODEN: HOBEAO  
COUNTRY: United States  
DOCUMENT TYPE: Journal  
FILE SEGMENT: 002 Physiology  
003 Endocrinology  
037 Drug Literature Index  
LANGUAGE: English  
ENTRY DATE: Entered STN: 911209  
Last Updated on STN: 911209

AB The purpose of the present study was to determine whether nonsteroidal antiestrogens could act as estrogens by inducing or facilitating estrogen-induced sexual receptivity in a female lizard (*Anolis carolinensis*). Experiments were conducted to test the ability of enclomiphene (ENC) and zuclomiphene (ZUC) to induce sexual receptivity in estrogen-untreated ovariectomized females; to determine the effect of ENC and ZUC pretreatment on E2B induction of sexual receptivity; and to examine the ability of a variety of antiestrogens to act as estrogens by inducing sexual receptivity in females pretreated with a behaviorally ineffective estrogen treatment regimen.

L15 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1982:136150 CAPLUS  
DOCUMENT NUMBER: 96:136150  
TITLE: Effects of the antiestrogen CI-628 on Leydig cell function  
AUTHOR(S): Melner, Michael H.; Abney, Tom O.  
CORPORATE SOURCE: Dep. Endocrinol., Med. Coll. Georgia, Augusta, GA, USA  
SOURCE: Journal of Andrology (1982), 3(1), 72-8  
CODEN: JOAND3; ISSN: 0196-3635  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB CI 628 (I) [5863-35-4], diethylstilbestrol [56-53-1],  $17\beta$ -estradiol [50-28-2], tamoxifen [10540-29-1], and enclomiphene [15690-57-0]

] competitively inhibited the in vitro binding of tritiated estradiol to Leydig cell cytosol, and an i.p. injection of I depleted cytoplasmic estrogen receptor levels in 3 h with no recovery after 24 h. In addition, I diminished the human chorionic gonadotropin [9002-61-3]-induced **testosterone** [58-22-0] formation by purified Leydig cells. This supports the interrelation between estrogen receptors and steroidogenesis in the Leydig cells.

L15 ANSWER 24 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:491380 CAPLUS

DOCUMENT NUMBER: 95:91380

TITLE: Transport of steroid hormones: interaction of 70

drugs with **testosterone**-binding globulin and corticosteroid-binding globulin in human plasma

AUTHOR(S): Pugeat, Michel M.; Dunn, James F.; Nisula, Bruce C.

CORPORATE SOURCE: Natl. Inst. Child Health Human Dev., NIH, Bethesda, MD, 20205, USA

SOURCE: Journal of Clinical Endocrinology and Metabolism (1981), 53(1), 69-75

CODEN: JCEMA2; ISSN: 0021-972X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The binding of 70 synthetic compds. to both **testosterone**-binding globulin (TeBG) and corticosteroid-binding globulin (CBG) is described. The ability of each compound to displace [3H]**testosterone** from TeBG and [3H]cortisol from CBG adsorbed from a plasma pool onto a solid phase matrix of Concanavalin A-Sepharose was determined under equilibrium conditions at physiol. pH and temperature. From these data, the association consts. of the compds. for binding to both TeBG and CBG were calculated and used to predict whether endogenous steroid transport would be altered by the therapeutic administration of the drug. Computer simulation predicted that by interacting with TeBG, therapeutic levels of danazol [17230-88-5], methyltestosterone [58-18-4], fluoxymesterone [76-43-7], and norgestrel [6533-00-2] could displace 83%, 48%, 42%, and 16%, resp., of the concentration of **testosterone** bound to TeBG in a normal man. Similarly, by interacting with CBG, therapeutic levels of prednisolone [50-24-8] could decrease the concentration of cortisol bound to CBG by approx. 32% in both men and women, and despite relatively low affinity binding to TeBG (5 + 105 M<sup>-1</sup>), prednisolone could also displace small amts. of **testosterone** from TeBG. Apparently, binding to steroid transport proteins should be considered among the in vivo effects of drugs on endogenous steroid hormone levels.

L15 ANSWER 25 OF 34 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. on STN

ACCESSION NUMBER: 79167975 EMBASE

DOCUMENT NUMBER: 1979167975

TITLE: Binding properties of **testosterone** receptors in the hypothalamic-preoptic area of the adult male mouse brain.

AUTHOR: Clark C.R.; Nowell N.W.

CORPORATE SOURCE: Dept. Zool., Univ. Hull, North Humberside, HU6 7RX, United Kingdom

SOURCE: Steroids, (1979) Vol. 33, No. 4, pp. 407-426.

CODEN: STEDAM

COUNTRY: United States

DOCUMENT TYPE: Journal

FILE SEGMENT: 037 Drug Literature Index  
003 Endocrinology  
029 Clinical Biochemistry  
008 Neurology and Neurosurgery  
002 Physiology  
023 Nuclear Medicine

LANGUAGE: English

AB This study reports the specificity, kinetics and thermodynamics of the binding of tritiated **testosterone** to specific receptors in the cytosol of the hypothalamic-preoptic area of the adult male mouse brain. Values for the kinetic parameters KA, KD, ka, kd and the apparent free

energy ( $\Delta G(0^\circ\text{C})$ ) are reported. The specificity of these receptors was investigated by LH-20 chromatography and sucrose-gradient centrifugation. Differences in receptor specificity between the mouse and that reported for the rat are described. The effects of the antiandrogens, cyproterone acetate and BOMT, and the anti-estrogens MER-25 and clomiphene citrate on the binding of tritiated testosterone to specific 8S receptors are also reported. The effect of these steroid receptor antagonists on testosterone binding is discussed in relation to the current theory on the mechanism by which androgens influence brain function.

L15 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1980:52518 CAPLUS

DOCUMENT NUMBER: 92:52518

TITLE: The comparative potency of various steroids to complete the priming process for lordosis in guinea pigs

AUTHOR(S): Walker, William A.; Feder, H. H.

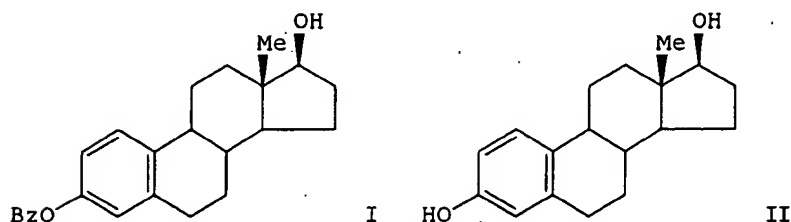
CORPORATE SOURCE: Inst. Anim. Behav., Rutgers, State Univ., Newark, NJ, 07102, USA

SOURCE: Hormones and Behavior (1979), 12(3), 299-308  
CODEN: HOBEAO; ISSN: 0018-506X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Ovariectomized adult guinea pigs were treated with a regimen of estradiol benzoate (I) [50-50-0] (0.2  $\mu\text{g}/\text{animal}$  at h 0 and 19) that was minimally effective for the induction of lordosis. They were then treated with 10, 20, or 80 mg of enclomiphene [15690-57-0], with 5, 20, 40, or 100  $\mu\text{g}$  of  $17\beta$ -estradiol (II) [50-28-2], or with testosterone [58-22-0], cortisol [50-23-7], estrone [53-16-7], estriol [50-27-1], stilbestrol [56-53-1], catechol estradiol [362-05-0], or catechol estrone [362-06-1] all at a dose equivalent to 5  $\mu\text{g}$  of estradiol at h 28. At h 39 all females were given 0.5 mg progesterone [57-83-0] and were subsequently tested for lordosis behavior. Of the various agents injected at h 28 only II, estrone, estriol, and stilbestrol were effective in supporting display of lordosis behavior. Thus, the antiestrogen enclomiphene, the catechol estrogens, and at least some C19 and C21 steroids are weaker than II or ineffective in facilitating lordosis behavior when given late in the priming period. Since previous work had shown that enclomiphene has partial estrogenic effects on lordosis behavior when administered early in the priming period (i.e., at h 0 and 19), it is suggested that the early and late phases of the priming process induced by II entail qual. different neural processes.

L15 ANSWER 27 OF 34 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 1979:209737 BIOSIS

DOCUMENT NUMBER: PREV197968012241; BA68:12241

TITLE: THE CERVICAL CAP SELF APPLIED IN THE TREATMENT OF SEVERE OLIGO SPERMIA.

AUTHOR(S): WHITELAW W J [Reprint author]

CORPORATE SOURCE: DEP OBSTET GYNECOL, 2061 CLAMAR WAY, SAN JOSE, CALIF 95128, USA

SOURCE: Fertility and Sterility, (1979) Vol. 31, No. 1, pp. 86-87.

CODEN: FESTAS. ISSN: 0015-0282.

DOCUMENT TYPE: Article  
FILE SEGMENT: BA  
LANGUAGE: ENGLISH

AB A prosthetic uterine cervical cap is tested in female patients whose husbands demonstrated infertility due to limited sperm mobility and low sperm counts. Females are evaluated both by laparoscopy and hysterosalpingography. Male patients underwent varicocelectomy with subsequent testosterone, human chorionic gonadotropin, thyroid hormone, corticosteroids and experimental cislomiphene drug therapy when indicated. Cervical capping is more sexually and psychologically satisfactory than artificial insemination; a low 13% conception rate is reported within 1 yr after capping began.

L15 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

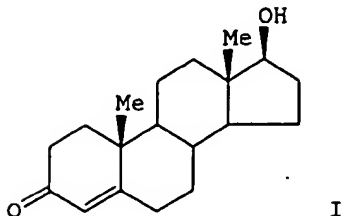
ACCESSION NUMBER: 1978:83810 CAPLUS  
DOCUMENT NUMBER: 88:83810  
TITLE: Obstruction of estrogen-receptor complex formation.  
Further analysis of the nature and steroidal  
specificity of the effect  
AUTHOR(S): Watson, Gary H.; Korach, Kenneth S.; Muldoon, Thomas  
G.  
CORPORATE SOURCE: Dep. Endocrinol., Med. Coll. Georgia, Augusta, GA, USA  
SOURCE: Endocrinology (1977), 101(6), 1733-43  
CODEN: ENDOAO; ISSN: 0013-7227  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Qual. and quant. aspects of inhibition of  $17\beta$ -estradiol [5863-35-4]-receptor complex formation by a number of natural and synthetic hormonal agents were investigated in rat anterior pituitary cytosol. The initial velocity of the interaction between  $17\beta$ -estradiol and its receptors was impeded by preincubation with androgenic compds. in a dose-related manner, the order of inhibitory effectiveness being:  $5\alpha$ -androstane- $3\beta,17\beta$ -diol [571-20-0] >  $5\alpha$ -androstane- $3\beta,17\beta$ -diol [571-20-0] =  $5\alpha$ -dihydrotestosterone [521-18-6] > testosterone [58-22-0]. Both initial velocity and inhibitory response to a given level of androgen were lower in the male than in female cytosol. Weak androgens (dehydroepiandrosterone [53-43-0], and etiocholanolone [53-42-9]), and antiandrogens (flutamide [13311-84-7], cyproterone [2098-66-0], and Ro 7-8117 [39962-28-2]) were effective inhibitors, but the degree of inhibition was not dose-dependent as with other androgens. Antiestrogens effectively impeded  $17\beta$ -estradiol-receptor association in relation to their antiestrogenic potency; thus, dimethylstilbestrol [552-80-7] > enclomiphene [15690-57-0] > MER-25 [67-98-1]. CI-628 [5863-35-4] displayed an inhibitory pattern suggestive of an affinity-labeling mechanism. Progesterone [57-83-0], cortisol [50-23-7], and aldosterone [52-39-1] were completely without effect on the association reaction. Coincubation (addition of inhibitor and  $17\beta$ -estradiol at the same time) was generally less effective than preincubation and, with  $5\alpha$ -dihydrotestosterone, an initial phase of competition for binding sites could be distinguished from subsequent displacement of androgen. Kinetic anal. firmly established the inhibition as being competitive for the androgens and for the weak estrogen, estriol [50-27-1]. The latter was far more effective than the androgens tested (dissociation constant values of 1, 17, and 72 nM were calculated for estriol,  $5\alpha$ -dihydrotestosterone, and testosterone, resp.). Apparently, impedance of normal estrogen-receptor complex formation can be effected by various types of compds., all of which appear capable of interacting with the estrogen receptor to varying degrees.

L15 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1976:587018 CAPLUS  
DOCUMENT NUMBER: 85:187018  
TITLE: Effect of some antiestrogens and aromatase inhibitors  
on androgen induced sexual behavior in castrated male  
rats  
AUTHOR(S): Beyer, C.; Morali, G.; Naftolin, F.; Larsson, K.;

CORPORATE SOURCE: Perez-Palacios, G.  
 Dep. Biol. Reprod., Univ. Auton. Metrop.-Iztapalapa,  
 Iztapalapa, Mex.  
 SOURCE: Hormones and Behavior (1976), 7(3), 353-63  
 CODEN: HOBEAO; ISSN: 0018-506X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB In sexually inexperienced castrated male rats, **testosterone** (I) [58-22-0] (1 mg/day) for 21 days induced sexual activity in most animals (61% mounting). Daily pretreatment with MER-25 [67-98-1], or **cis-clomiphene** citrate [7619-53-6] at 3 dose levels did not block the behavioral response to I. ICI 46474 [10540-29-1] (1 mg/kg) elicited a depressing effect on the sexual behavior of I treated castrated rats. Injection of **testosterone** propionate [57-85-2] (6 mg) induced mounting behavior in 56% of the tested rats within 120 hr. Treatment with metopirone [54-36-4] or 5 $\alpha$ -androstenedione [846-46-8] (injections every 12 hr for 96 hr) did not inhibit the response to **testosterone** propionate. By contrast, aminoglutethimide [125-84-8] (5 or 15 mg every 12 hr for 96 hr) abolished the behavioral response to androgen.

L15 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:604401 CAPLUS  
 DOCUMENT NUMBER: 83:204401  
 TITLE: Specific, high-affinity binding of 17 $\beta$ -estradiol in cytosols from several brain regions and pituitary of intact and castrated adult male rats  
 AUTHOR(S): Vreeburg, J. T. M.; Schretlen, P. J. M.; Baum, M. J.  
 CORPORATE SOURCE: Fac. Med., Erasmus Univ., Rotterdam, Neth.  
 SOURCE: Endocrinology (1975), 97(4), 969-77  
 CODEN: ENDOAO; ISSN: 0013-7227  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The specific 17 $\beta$ -estradiol(I)-binding capacity of cytosols from the rat pituitary was .apprx.10 times higher than that of any of the 5 brain regions studied. Of these brain regions, the highest I-binding capacities were present in the anterior hypothalamus followed by progressively lower capacities in the posterior hypothalamus, amygdala, midbrain and cerebral cortex. The specific I-binding capacity of cytosol from the anterior hypothalamus was significantly higher in castrated than intact rats. No such difference was found in any of the other tissues studied. Using sucrose gradient ultracentrifugation, an 8 S sedimentation coefficient was found for the specific I-binding macromols. present in cytosols from the pituitary as well as the anterior and posterior hypothalamus of castrated rats. The affinity for I of cytosols from anterior and posterior hypothalamus was very high, with the mean association consts. being 2.9 and 2.4 + 1010M, resp. In competition expts. the I-binding mols. present in cytosols from the pituitary and anterior hypothalamus showed a higher affinity for I than for either estrone or estriol. In both tissues these I-binding mols. showed a moderate affinity for the antiestrogens MER-25 and **cis-clomiphene** citrate as well as for the androgen 3 $\beta$ -androstenediol, but almost no affinity for 3 $\alpha$ -androstenediol, 5 $\alpha$ -dihydrotestosterone, **testosterone**, or corticosterone. A true cytoplasmic receptor for

I apparently exists in the male rat brain and pituitary, which may play an important role in regulating reproductive function.

L15 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1972:483719 CAPLUS

DOCUMENT NUMBER: 77:83719

TITLE: Idiopathic oligospermia: control observations and response to cisclophene

AUTHOR(S): Wieland, Ralph G.; Ansari, Amir H.; Klein, David E.; Doshi, Narendra S.; Hallberg, Marvin C.; Chen, Jeffrey C.

CORPORATE SOURCE: Dep. Med., St. Luke's Hosp., Cleveland, OH, USA

SOURCE: Fertility and Sterility (1972), 23(7), 471-74

CODEN: FESTAS; ISSN: 0015-0282

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Male patients with idiopathic oligospermia had elevated levels of circulating FSH [9002-68-0] and LH [9002-67-9] but had normal testosterone [58-22-0] levels. Treatment of these patients with cisclophene [15690-55-8] (10 mg/day) for 12 weeks increased LH and testosterone levels and caused increases in the sperm count in an unpredictable fashion. Idiopathic oligospermia appears to represent a heterogenous disorder from an endocrine point of view.

L15 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1972:471678 CAPLUS

DOCUMENT NUMBER: 77:71678

TITLE: Estrogen-binding proteins of the human uterus

AUTHOR(S): Notides, Angelo C.; Hamilton, Dale E.; Rudolph, Jerome H.

CORPORATE SOURCE: Sch. Med. Dent., Univ. Rochester, Rochester, NY, USA

SOURCE: Biochimica et Biophysica Acta (1972), 271(1), 214-24

CODEN: BBACQ; ISSN: 0006-3002

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Sucrose gradient centrifugation anal. and agarose gel chromatog. of the human uterine cytosols, equilibrated with estradiol-3H, have demonstrated the presence of 2 specific estrogen-binding proteins. The endometrial cytosol contained estrogen-binding proteins which sediment in sucrose gradients at 8 S, with a secondary estrogen-binding protein sedimenting at 3 S, while the myometrial cytosol contained almost exclusively a 3-S estrogen-binding protein. A nonspecific estradiol-3H-binding protein with a sedimentation coefficient of 4.6 S was shown to be serum albumin. The addition of diisopropylfluorophosphate to the homogenization buffer resulted in the appearance of the 8-S and no 3-S estrogen-binding protein in the myometrial cytosol, suggesting that the 3-S species may be obtained from the 8-S estrogen-binding protein by limited proteolysis, but without loss of the estradiol-binding capacity. The myometrial 3-S estrogen-binding protein has a mol. Stokes radius of 26.7 Å, with a frictional ratio (f/f<sub>0</sub>) of 1.20-1.25, and a mol. weight of 35,000-38,000 as approxd. by agarose gel chromatog. and sucrose gradient anal. The apparent dissociation constant of the myometrial estrogen-binding protein was  $1 + 10^{-9}M$  and the binding capacity was  $67 (\pm 10) + 10^{-15}$  mole of estradiol-3H bound per mg protein, with large variation among patients,  $25 + 10^{-15}$  to  $140 + 10^{-15}$  mole of estradiol bound. Test compds. competed with the estradiol-3H for binding by the myometrial estrogen-binding protein in the following sequence:  $17\beta$ -estradiol > estrone > ethynylestradiol  $\geq$  diethylstilbestrol >  $17\alpha$ -estradiol > estriol > CI 628 > U 11, 100A > cis-clomiphene > 5-androstene-3 $\beta$ ,17 $\beta$ -diol > 4-androstene-3 $\beta$ ,17 $\beta$ -diol. Dihydrotestosterone, testosterone, androstenedione, progesterone, or cortisol were not effective competitors of estradiol-3H for the myometrial estrogen-binding protein.

L15 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1972:21354 CAPLUS

DOCUMENT NUMBER: 76:21354

TITLE: Effect of clomiphene citrate in chickens. 1.

Androgenic and estrogenic activity  
AUTHOR(S): McGinnis, C. H., Jr.; Wallace, L. D.  
CORPORATE SOURCE: Hess and Clark, Ashland, OH, USA  
SOURCE: Poultry Science (1971), 50(5), 1475-80  
CODEN: POSCAL; ISSN: 0032-5791

DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Clomiphene citrate (I citrate) [50-41-9], **cis-clomiphene** citrate [7619-53-6], and **trans-clomiphene** citrate [7599-79-3] had some antiandrogenic activity whether applied topically on the chick comb, injected i.m. into capons, or fed to capons in conjunction with the parenteral administration of **testosterone** propionate [57-85-2]. I and the **cis-isomer**, but not the **trans-isomer**, had strong antiestrogenic activity when administered with estradiol benzoate [50-50-0]. None of the compds. had androgenic or estrogenic effects.

L15 ANSWER 34 OF 34 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 1989:76872 BIOSIS  
DOCUMENT NUMBER: PREV198987041270; BA87:41270  
TITLE: CLOMIPHENE AND THE FERTILITY IN RATS.  
AUTHOR(S): REJ S K [Reprint author]; CHATTERJEE R; CHATTERJEE A  
CORPORATE SOURCE: DEP PHYSIOL, FAC MED, UNIV KHARTOUM, PO.BOX 102, KHARTOUM, SUDAN  
SOURCE: Proceedings of the Zoological Society (Calcutta), Vol. 37, No. 1-2, pp. 1-4. 1984-1988.  
CODEN: PZSIAE. ISSN: 0373-5893.

DOCUMENT TYPE: Article  
FILE SEGMENT: BA  
LANGUAGE: ENGLISH  
ENTRY DATE: Entered STN: 23 Jan 1989  
Last Updated on STN: 23 Jan 1989

AB Surgical separation of epididymides from the testes at the testis-caput junction retained the sperm fertility up to three weeks (21 days) in rats. Multiple sc injections of **cis-isomer** of clomiphene citrate at a dose of 2.0 mg/kg on days 15, 17 and 19 following the surgical manipulation made the test animals infertile. Transclomiphene, however, even at a much higher dose schedule (10.0 mg/kg) in an identical experimental model failed to affect fertility. The concurrent administration of **testosterone** with **cis-clomiphene** was found to maintain fertility of the test animals. The possible deleterious effect of **cis-clomiphene** in epididymal sperm fertility has been discussed.